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NEWS
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                  PCTGEN now available on STN
      3
         Feb 24
NEWS
      4
          Feb 24
                  TEMA now available on STN
NEWS
          Feb 26
                  NTIS now allows simultaneous left and right truncation
NEWS
          Feb 26
                  PCTFULL now contains images
NEWS
         Mar 04
                  SDI PACKAGE for monthly delivery of multifile SDI results
      7
NEWS
         Mar 24
                  PATDPAFULL now available on STN
NEWS
         Mar 24
                  Additional information for trade-named substances without
                  structures available in REGISTRY
NEWS 10
         Apr 11
                  Display formats in DGENE enhanced
NEWS 11
         Apr 14
                  MEDLINE Reload
NEWS 12
         Apr 17
                  Polymer searching in REGISTRY enhanced
NEWS 13
         AUG 22
                  Indexing from 1927 to 1936 added to records in CA/CAPLUS
NEWS 14
         Apr 21
                  New current-awareness alert (SDI) frequency in
                  WPIDS/WPINDEX/WPIX
                  RDISCLOSURE now available on STN
NEWS 15
         Apr 28
NEWS 16
         May 05
                  Pharmacokinetic information and systematic chemical names
                  added to PHAR
NEWS 17
         May 15
                  MEDLINE file segment of TOXCENTER reloaded
         May 15
NEWS 18
                  Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 19
         May 19
                  Simultaneous left and right truncation added to WSCA
NEWS 20
         May 19
                  RAPRA enhanced with new search field, simultaneous left and
                  right truncation
NEWS 21
         Jun 06
                  Simultaneous left and right truncation added to CBNB
NEWS 22
         Jun 06
                  PASCAL enhanced with additional data
NEWS 23
         Jun 20
                  2003 edition of the FSTA Thesaurus is now available
NEWS 24
         Jun 25
                 HSDB has been reloaded
NEWS 25
         Jul 16
                 Data from 1960-1976 added to RDISCLOSURE
NEWS 26
         Jul 21
                  Identification of STN records implemented
NEWS 27
         Jul 21
                  Polymer class term count added to REGISTRY
NEWS 28
         Jul 22
                  INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
                  Right Truncation available
NEWS 29
         AUG 05
                 New pricing for EUROPATFULL and PCTFULL effective
                  August 1, 2003
NEWS 30
         AUG 13
                  Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 31
         AUG 15
                  PATDPAFULL: one FREE connect hour, per account, in
                  September 2003
NEWS 32
         AUG 15
                 PCTGEN: one FREE connect hour, per account, in
                  September 2003
NEWS 33
         AUG 15
                 RDISCLOSURE: one FREE connect hour, per account, in
```

TEMA: one FREE connect hour, per account, in

September 2003

NEWS 34

AUG 15

September 2003

NEWS 35 AUG 18 Data available for download as a PDF in RDISCLOSURE

NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL

NEWS 37 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation

NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT NEWS EXPRESS

MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),

AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

STN Operating Hours Plus Help Desk Availability NEWS HOURS

NEWS INTER General Internet Information NEWS LOGIN

NEWS PHONE Direct Dial and Telecommunication Network Access to STN

NEWS WWW CAS World Wide Web Site (general information)

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SINCE FILE TOTAL ENTRY SESSION 0.21

0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 4 SEP 2003 HIGHEST RN 579436-73-0 DICTIONARY FILE UPDATES: 4 SEP 2003 HIGHEST RN 579436-73-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

Uploading 09647772.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

SAMPLE SEARCH INITIATED 13:55:58 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 354 TO ITERATE

100.0% PROCESSED 354 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** **COMPLETE** BATCH

PROJECTED ITERATIONS: 5952 TO 8208 PROJECTED ANSWERS: 2 TO

L2 2 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 13:56:09 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 7051 TO ITERATE

100.0% PROCESSED 7051 ITERATIONS 52 ANSWERS

SEARCH TIME: 00.00.01

L352 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 148.15 148.36

FILE 'CAPLUS' ENTERED AT 13:56:18 ON 05 SEP 2003

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FILE COVERS 1907 - 5 Sep 2003 VOL 139 ISS 11 FILE LAST UPDATED: 4 Sep 2003 (20030904/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 full L4 3 L3

=> d l4 1-3 ibib abs hitstr

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

2002:483072 CAPLUS

DOCOME

137:47109

TITLE:

Preparation of trisubstituted indole derivatives for

II

inhibiting neoplastic cells

INVENTOR(S):

Pamukcu, Rifat; Piazza, Gary A.

PATENT ASSIGNEE(S):

Cell Pathways, Inc., USA

SOURCE:

U.S., 76 pp., Cont.-in-part of U.S. 6,046,199.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO. I	DATE
US 6410584	B1	20020625	US 1998-199860 1	19981125
US 6046199	A	20000404	US 1998-7098 1	19980114
US 2002143022	A1	20021003	US 2002-71639 2	20020207
PRIORITY APPLN. INFO.:	:		US 1998-7098 A2 1	19980114
			US 1998-199860 A3 1	19981125

OTHER SOURCE(S):

MARPAT 137:47109

R4 R3

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Ι

AB Title compds. I [R1-3 = H, alkyl, alkylthio alkoxy, with the proviso that

```
R1-3 are not simultaneously hydrogen atoms; R4 = H, alkyl; R5 = carboxy,
     an esterified carboxy group, or an amidated carboxy group! were prepd.
     For instance, 6-methoxycarbonyl-2-methylindole was N-alkylated with
     2-chlorobenzyl bromide (DMF, K2CO3, 80.degree.C, 18 h); the product
     sapond. and the resulting acid coupled to benzenesulfonamide (DMF, CDI,
     DBU) to afford II. I are used for inhibiting the growth of neoplastic
     cells (no data).
     206065-16-9P, 5-((Benzenesulfonyl)carbamoyl)-3-(2,4-
IT
     dichlorobenzyl) -2-methylindole 206065-31-8P,
     3-(2,4-Dichlorobenzyl)-5-[[(4-methoxybenzene)sulfonyl]carbamoyl]-2-
     methylindole 206065-32-9P, 5-(((Benzenesulfonyl)carbamoyl))-3-
     (2,4-dichlorobenzyl)-2-ethylindole 206065-43-2P,
     5-(((Cyclohexane)sulfonyl)carbamoyl)-3-(2,4-dichlorobenzyl)-2-methylindole
     206065-53-4P, 3-(2,4-Dichlorobenzyl)-2-methyl-5-[[(4-
     methylbenzene) sulfonyl] carbamoyl] indole 206065-55-6P,
     3-(2,4-Dichlorobenzyl)-2-methyl-5-[[(4-nitrobenzene)sulfonyl]carbamoyl]ind
     ole 206065-57-8P, 5-[[(4-Chlorobenzene)sulfonyl]carbamoyl]-3-
     (2,4-dichlorobenzyl)-2-methylindole 206065-59-0P,
     5-[[(3-Chlorobenzene)sulfonyl]carbamoyl]-3-(2,4-dichlorobenzyl)-2-
     methylindole 206065-61-4P, 5-[[(2-Chlorobenzene)sulfonyl]carbamo
     yl]-3-(2,4-dichlorobenzyl)-2-methylindole 206065-63-6P,
     3-(2,4-Dichlorobenzyl)-5-[[(4-fluorobenzene)sulfonyl]carbamoyl]-2-
     methylindole 206065-64-7P, 3-(2,4-Dichlorobenzyl)-2-methyl-5-
     [[(2-naphthalene)sulfonyl]carbamoyl]indole 206065-65-8P,
     3-(2,4-Dichlorobenzyl)-2-methyl-5-[[(naphthalen-1-
    yl)sulfonyl]carbamoyl]indole 206065-66-9P, 3-(2,4-
     Dichlorobenzyl) -2-methyl-5-[[(2-methylbenzene)sulfonyl]carbamoyl]indole
     206065-68-1P, 5-(((4-Bromobenzene)sulfonyl)carbamoyl)-3-(2,4-
     dichlorobenzyl) - 2-methylindole 206065-70-5P,
     3-(2,4-Dichlorobenzyl)-2-methyl-5-[[(4-vinylbenzene)sulfonyl]carbamoyl]ind
     ole 206065-71-6P, 5-[[(4-(Phenylazo)benzene)sulfonyl]carbamoyl]-
     3-(2,4-dichlorobenzyl)-2-methylindole 206065-72-7P,
     3-(2,4-Dichlorobenzyl)-2-methyl-5-[[(4-trifluoromethylbenzene)sulfonyl]car
    bamoyl]indole 206065-74-9P, 3-(2,4-Dichlorobenzyl)-5-[[(3,4-
     dimethoxybenzene) sulfonyl]carbamoyl]-2-methylindole 206065-76-1P
       5-[[(4-tert-Butylbenzene)sulfonyl]carbamoyl]-3-(2,4-dichlorobenzyl)-2-
     methylindole 206065-77-2P, 3-(2,4-Dichlorobenzyl)-2-methyl-5-
     [[(3-methylbenzene)sulfonyl]carbamoyl]indole 206065-81-8P,
     3-(2,4-Dichlorobenzyl)-2-methyl-5-[[(4-phenylbenzene)sulfonyl]carbamoyl]in
     dole 206065-83-0P, 5-((Benzenesulfonyl)carbamoyl)-3-[2-chloro-4-
    phenylbenzyl]-2-methylindole 206065-85-2P, 5-[[(4-n-
    Butylbenzene) sulfonyl]carbamoyl]-3-(2,4-dichlorobenzyl)-2-methylindole
     206065-86-3P, 5-[[(4-(Butoxy)benzene)sulfonyl]carbamoyl]-3-(2,4-
     dichlorobenzyl) -2-methylindole 206065-96-5P,
     3-[(1-Bromonaphthalen-2-yl)methyl]-2-methyl-5-[[(4-
    vinylbenzene) sulfonyl] carbamoyl] indole 206065-98-7P,
     5-[[Benzenesulfonyl]carbamoyl]-3-[(1-bromonaphthalen-2-yl)methyl]-2-
    methylindole 206066-00-4P, 3-[2-Chloro-4-phenylbenzyl]-2-methyl-
     5-[[(4-vinylbenzene)sulfonyl]carbamoyl]indole 206066-02-6P,
     3-[2-Chloro-4-phenylbenzyl]-2-methyl-5-[[4-methylphenylsulfonyl]carbamoyl]
     indole 438003-21-5P, 3-(2,4-Dichlorobenzyl)-5-(((2,5-
     dimethylbenzene)sulfonyl)carbamoyl)-2-methylindole 438003-26-0P,
     3-(2,4-Dichlorobenzyl)-5-[[(4-ethylbenzene)sulfonyl]carbamoyl]-2-
    methylindole 438003-36-2P, 3-[(1-Bromonaphthalen-2-yl)methyl]-2-
    methyl-5-[[p-toluenesulfonyl]carbamoyl]indole
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug; prepn. of trisubstituted indole derivs. for inhibiting
```

neoplastic cells)

RN 206065-16-9 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 206065-31-8 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-N-[(4-methoxyphenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 206065-32-9 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-ethyl-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 206065-43-2 CAPLUS

CN 1H-Indole-5-carboxamide, N-(cyclohexylsulfonyl)-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 206065-53-4 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 206065-55-6 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \text{Cl} \\ & & & \\ \text{O}_2\text{N} & & & \\ & & & \\ \text{S} & \text{NH} - \text{C} & & \\ & & & \\ \text{O} & & & \\ \end{array}$$

RN 206065-57-8 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(4-chlorophenyl)sulfonyl]-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 206065-59-0 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(3-chlorophenyl)sulfonyl]-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 206065-61-4 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(2-chlorophenyl)sulfonyl]-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 206065-63-6 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-N-[(4-fluorophenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 206065-64-7 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 206065-65-8 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 206065-66-9 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-[(2-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 206065-68-1 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(4-bromophenyl)sulfonyl]-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 206065-70-5 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-N-[(4-ethenylphenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{C1} \\ \text{C1} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{Me} \\ \text{O} \\ \text{NH} \\ \text{O} \\ \end{array}$$

RN 206065-71-6 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-[[4-(phenylazo)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 206065-72-7 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-[[4-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Cl} \\ & \text{Cl} \\ & \text{Cl} \\ & \text{Cl} \\ & \text{CH}_2 \\ & \text{Me} \\ & \text{NH} \\ & \text{Cl} \\ & \text{NH} \\ & \text{N$$

RN 206065-74-9 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-N-[(3,4-dimethoxyphenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 206065-76-1 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-N-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 206065-77-2 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-[(3-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 206065-81-8 CAPLUS

CN 1H-Indole-5-carboxamide, N-([1,1'-biphenyl]-4-ylsulfonyl)-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 206065-83-0 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(3-chloro[1,1'-biphenyl]-4-yl)methyl]-2-methyl-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & H & Me \\ \hline Ph & S - NH - C & & CH_2 \\ \hline O & O & & C1 \\ \end{array}$$

RN 206065-85-2 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(4-butylphenyl)sulfonyl]-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 206065-86-3 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(4-butoxyphenyl)sulfonyl]-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 206065-96-5 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(1-bromo-2-naphthalenyl)methyl]-N-[(4-ethenylphenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 206065-98-7 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(1-bromo-2-naphthalenyl)methyl]-2-methyl-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 206066-00-4 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(3-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[(4-ethenylphenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 206066-02-6 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(3-chloro[1,1'-biphenyl]-4-yl)methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 438003-21-5 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-N-[(2,5-dimethylphenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

RN438003-26-0 CAPLUS

CN1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-N-[(4ethylphenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN438003-36-2 CAPLUS

1H-Indole-5-carboxamide, 3-[(1-bromo-2-naphthalenyl)methyl]-2-methyl-N-[(2-CNmethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS 18 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:659360 CAPLUS

DOCUMENT NUMBER:

131:271808

TITLE: INVENTOR(S):

Preparation of indole derivatives as PDE5 inhibitors Yamasaki, Noritsugu; Imoto, Takafumi; Oku, Teruo; Kayakiri, Hiroshi; Onomura, Osamu; Hiramura, Takahiro

PATENT ASSIGNEE(S):

SOURCE:

Fujisawa Pharmaceutical Co., Ltd., Japan

PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ---- ---------------A1 19991014 WO 1999-JP1798 19990405 WO 9951574 W: BR, CA, CN, JP, KR, US RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE CA 1999-2327397 CA 2327397 AA19991014 19990405 BR 9909440 20001226 BR 1999-9440 19990405 Α A1 EP 1070705 20010124 EP 1999-912110 19990405 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

PRIORITY APPLN. INFO.:

JP 1998-93625 A 19980406

WO 1999-JP1798 W 19990405

OTHER SOURCE(S):

MARPAT 131:271808

Ι

GI

Title compds. [I; or salts thereof, wherein R1 is aryl-substituted lower AB alkyl in which the aryl may be substituted by at least one member selected among halogen atoms, aryls, heterocyclic groups, aryl-substituted lower alkyls, aryl-substituted lower alkenyls, lower haloalkyls, (lower cycloalkyl)-substituted lower alkyloxys, (lower cycloalkyloxy)-substituted lower alkyls, aryl-substituted lower alkynyls, aryloxy-substituted lower alkyls, aryl-substituted lower alkyloxys, lower alkylthios, lower alkyloxys, and alkenyls; and R2 is a lower alkyl, lower alkenyl, aryl, or heterocyclic group optionally substituted by halogeno, lower alkyl, lower alkenyl, or aryl] are prepd. having hypoglycemic activity and a PDE5 inhibitory activity and are useful as agents on treatment of diabetes and on set diseases caused by diabetes. Thus, the title compd. I (R1 = 2-Cl-4-BrC6H3CH2; R2 = CH2:CH(CH2)3CH2) was prepd.

IT245367-80-0P 245367-82-2P 245367-84-4P 245367-85-5P 245367-87-7P 245367-88-8P 245367-89-9P 245367-95-7P 245367-96-8P 245368-04-1P 245368-07-4P 245368-11-0P 245368-13-2P 245368-14-3P 245368-16-5P 245368-19-8P 245368-20-1P 245368-52-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indole derivs. as PDE5 inhibitors)

245367-80-0 CAPLUS RN

CN 1H-Indole-5-carboxamide, 3-[[2-chloro-4-[(1,1-dimethylethyl)thio]phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]-(9CI) (CA INDEX NAME)

RN 245367-82-2 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2-chloro-4-iodophenyl)methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 245367-84-4 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[[2-chloro-4-(phenylethynyl)phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph-C} \\ \hline \\ \text{C1} \\ \hline \\ \text{Me} \\ \hline \\ \text{O} \\ \hline \\ \text{O} \\ \hline \\ \text{NH-C} \\ \hline \\ \text{NH-Me} \\ \end{array}$$

RN 245367-85-5 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[[2-chloro-4-(2-phenylethenyl)phenyl]methyl]-2-

methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 245367-87-7 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[[2-chloro-4-(2-phenylethyl)phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph-CH}_2-\text{CH}_2 \\ & & \text{Cl} \\ & & \text{CH}_2 \\ & & \text{Me} \\ & & \text{S-NH-C} \\ & & & \text{NH} \end{array}$$

RN 245367-88-8 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[[2-chloro-4-(phenylmethoxy)phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph-CH}_2-\text{O} \\ & \text{Cl} \\ & \text{CH}_2 \\ & \text{NH-C} \\ & \text{NH} \end{array}$$

RN 245367-89-9 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[[2-chloro-4-(cyclohexylmethoxy)phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 245367-95-7 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(4-bromo-2-chlorophenyl)methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 245367-96-8 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(4-bromo-2-chlorophenyl)methyl]-N-[(4-ethenylphenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

$$H_2C = CH$$
 $C1$
 CH_2
 $S = NH - C$
 NH

RN 245368-04-1 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[[2-chloro-4-(trifluoromethyl)phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \text{CF}_3 \\ & & & & \\ & & & \\ \text{Me} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN245368-07-4 CAPLUS

 ${\tt 1H-Indole-5-carboxamide, 3-[[2-chloro-4-(trifluoromethyl)phenyl]methyl]-N-chloro-4-(trifluoromethyl)phenyl]methyllo-1-(trifluoromethyl)phenyllo-1-(trifluoromethyllo-1-(tri$ CN[(4-ethenylphenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

$$CF_3$$
 C_1
 CH_2
 C

RN245368-11-0 CAPLUS

CN1H-Indole-5-carboxamide, 3-[[2-chloro-4-(phenoxymethyl)phenyl]methyl]-2methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{PhO-CH}_2 \\ & \text{Cl} \\ & \text{Cl} \\ & \text{S-NH-C} \\ & \text{NH} \end{array}$$

RN

245368-13-2 CAPLUS 1H-Indole-5-carboxamide, 3-[[2-chloro-4-[(cyclohexyloxy)methyl]phenyl]meth CNyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{O} & \text{O} & \text{H} & \text{Me} \\ \hline & \text{S} & \text{NH} & \text{C} & \text{CH}_2 & \text{CH}_2 & \text{CH}_2 & \text{C} \\ \hline & \text{C} \\ \end{array}$$

RN 245368-14-3 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2-chloro-4-ethoxyphenyl)methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 245368-16-5 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[[2-chloro-4-(2-thienyl)phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 245368-19-8 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[[2-chloro-4-(2-furanyl)phenyl]methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{O} & \text{O} & \text{H} & \text{Me} \\ \hline & \text{S} & \text{NH} & \text{C} & \text{CH}_2 \\ \hline & \text{C}_1 & \text{O} & \text{C}_1 \\ \end{array}$$

RN 245368-20-1 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[[2-chloro-4-(1-hexenyl)phenyl]methyl]-2-methyl-

N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

245368-52-9 CAPLUS RN

1H-Indole-5-carboxamide, 3-[[2-chloro-4-(1-methylenepentyl)phenyl]methyl]-CN2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CH}_2 \\ \text{C-Bu-n} \\ \\ \text{C} \\ \text{C} \\ \text{D} \\ \text{NH} \\ \\ \text{C} \\ \text{NH} \\ \\ \text{NH} \\ \\ \text{C} \\ \text{NH} \\ \\ \text{C} \\ \text{NH} \\ \\ \text{NH} \\ \\ \text{C} \\ \text{NH} \\ \\ \text{NH}$$

REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1998:239201 CAPLUS

DOCUMENT NUMBER:

128:294695

TITLE:

Preparation and formulation of indole derivatives as hypoglycemics and phosphodiesterase 5 inhibitors

INVENTOR(S):

Yamasaki, Noritsugu; Imoto, Takafumi; Murai, Yoshiyuki; Hiramura, Takahiro; Onomura, Osamu; Nishikawa, Masahiro; Oku, Teruo; Sawada, Kouzou; Kayakiri, Hiroshi; et al.

PATENT ASSIGNEE(S):

Fujisawa Pharmaceutical Co., Ltd., Japan; Yamasaki, Noritsugu; Imoto, Takafumi; Murai, Yoshiyuki;

Hiramura, Takahiro; Onomura, Osamu; Nishikawa, Masahiro; Oku, Teruo; Sawada, Kouzou; Kayakiri,

Hiroshi

SOURCE:

PCT Int. Appl., 184 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent Japanese

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ----WO 9815530 A1 19980416 WO 1997-JP3592 19971007 W: AU, BR, CA, CN, HU, IL, JP, KR, MX, NZ, RU, SG, TR, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, NL, PT, SE AU 9744005 A119980505 AU 1997-44005 19971007 ZA 9708998 19980420 A ZA 1997-8998 19971008 PRIORITY APPLN. INFO.: JP 1996-287676 19961008 Α JP 1997-187536 A 19970627 WO 1997-JP3592 W 19971007 OTHER SOURCE(S): MARPAT 128:294695

AB The title compds. I [R1 - R3 = H, alkyl, etc.; a proviso is given; R4 = H, alkyl; R5 = carboxy, etc.] are prepd. I are useful as remedies for impaired glucose tolerance, diabetes, complication of diabetes, insulin resistant syndrome, hyperlipidemia, atherosclerosis, cardiovascular diseases, hyperglycemia, hypertension; diseases characterized by angina pectoris, high blood pressure, pulmonary hypertension, congestive heart failure, glomerular diseases, tubular interstitial diseases, renal insufficiency, atherosclerosis, angiostenosis, peripheral vascular diseases, cerebral stroke, chronic reversible obstructive diseases, allergic rhinitis, urticaria, glaucoma or intestinal motility disorders; sexual impotence, osteoporosis, nephritis, cancerous cachexia or post-PTCA reconstriction. The title compd. II at 10 mg/kg gave 46% decrease of blood sugar in mice.

IT 206065-16-9P 206065-31-8P 206065-32-9P 206065-43-2P 206065-53-4P 206065-55-6P 206065-57-8P 206065-59-0P 206065-61-4P

206065-63-6P 206065-64-7P 206065-65-8P 206065-66-9P 206065-67-0P 206065-68-1P 206065-70-5P 206065-71-6P 206065-72-7P 206065-74-9P 206065-76-1P 206065-77-2P 206065-81-8P 206065-83-0P 206065-84-1P 206065-85-2P 206065-86-3P 206065-96-5P 206065-97-6P 206065-98-7P 206066-00-4P 206066-02-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of indole derivs. as hypoglycemics and phosphodiesterase 5 inhibitors) RN206065-16-9 CAPLUS 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c|c} & & & \\ & & \\ \text{Ph-} & & \\ \text{S-} & \text{NH-} & \\ \text{O} & & \\ \text{O} & & \\ \end{array}$$

RN 206065-31-8 CAPLUS
CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-N-[(4-methoxyphenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 206065-32-9 CAPLUS
CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-ethyl-N(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 206065-43-2 CAPLUS

CN 1H-Indole-5-carboxamide, N-(cyclohexylsulfonyl)-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 206065-53-4 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 206065-55-6 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 206065-57-8 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(4-chlorophenyl)sulfonyl]-3-[(2,4-

dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 206065-59-0 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(3-chlorophenyl)sulfonyl]-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & & & \\ & & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & \\ & & \\ & \\ & & \\ & & \\ & \\ & \\ & & \\ & \\ & \\ & \\ & & \\ & \\ & \\ & \\ & \\ & \\$$

RN 206065-61-4 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(2-chlorophenyl)sulfonyl]-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 206065-63-6 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-N-[(4-fluorophenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 206065-64-7 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 206065-65-8 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 206065-66-9 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-[(2-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 206065-67-0 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-N-[(2,6-dimethylphenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 206065-68-1 CAPLUS CN 1H-Indole-5-carboxar

1H-Indole-5-carboxamide, N-[(4-bromophenyl)sulfonyl]-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 206065-70-5 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-N-[(4-ethenylphenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

$$H_2C = CH$$
 $C1$
 CH_2
 C

RN 206065-71-6 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-[[4-(phenylazo)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 206065-72-7 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-[[4-(trifluoromethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 206065-74-9 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-N-[(3,4-dimethoxyphenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ \text{OMe} & & & & & \\ \text{MeO} & & & & & \\ \text{O} & & & & & \\ \text{S-NH-C} & & & & \\ \text{NH} & & & & \\ \end{array}$$

RN 206065-76-1 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-N-[[4-(1,1-dimethylethyl)phenyl]sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ \text{C1} & & & \\ & & & \\ \text{C1} & & \\ &$$

RN 206065-77-2 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(2,4-dichlorophenyl)methyl]-2-methyl-N-[(3-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 206065-81-8 CAPLUS

CN 1H-Indole-5-carboxamide, N-([1,1'-biphenyl]-4-ylsulfonyl)-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{Ph} & & & \\ & & & \\ \text{O} & & & \\ & & & \\ & & & \\$$

RN 206065-83-0 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(3-chloro[1,1'-biphenyl]-4-yl)methyl]-2-methyl-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 206065-84-1 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(3-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[(4-ethylphenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 206065-85-2 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(4-butylphenyl)sulfonyl]-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 206065-86-3 CAPLUS

CN 1H-Indole-5-carboxamide, N-[(4-butoxyphenyl)sulfonyl]-3-[(2,4-dichlorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 206065-96-5 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(1-bromo-2-naphthalenyl)methyl]-N-[(4-ethenylphenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 206065-97-6 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(1-bromo-2-naphthalenyl)methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 206065-98-7 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(1-bromo-2-naphthalenyl)methyl]-2-methyl-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 206066-00-4 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(3-chloro[1,1'-biphenyl]-4-yl)methyl]-N-[(4-ethenylphenyl)sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 206066-02-6 CAPLUS

CN 1H-Indole-5-carboxamide, 3-[(3-chloro[1,1'-biphenyl]-4-yl)methyl]-2-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT